Figure 1 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 ligo No.	Sequence & Modification	
8 9 10 11 12 13 14 15 16 17 18	Sequence & Modification 5'-CCTACTAGCGTTCTCATC-3' (133-1) 5'-CCTACTAGCXTTCTCATC-3' (139-2) 5'-CCTACTAXCGTTCTCATC-3' (133-2) 5'-CCTACTXGCGTTCTCATC-3' (139-3) 5'-CCTACXAGCGTTCTCATC-3' (139-4) 5'-CCTAXTAGCGTTCTCATC-3' (139-4) 5'-CCTXCTAGCGTTCTCATC-3' (133-4) 5'-CCTXCTAGCGTTCTCATC-3' (145-10a) 5'-CCTXCTAGCGXTCTCATC-3' (133-5) 5'-CCTACTAGCGXTCTCATC-3' (139-7) 5'-CCTACTAGCGTXCTCATC-3' (139-7) 5'-CCTACTAGCGTTXTCATC-3' (139-8)	$X = \begin{cases} 3 \\ 0 \\ 0 \\ S \\ 0 \end{cases}$ 1',2'-Dideoxyribose
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)	
14	5'-CCTAXTAGCGTTCTCATC-3' (139-4) 5'-CCTXCTAGCGTTCTCATC-3' (133-4)	X = 3')
	5'-CCTACTAGCGTTCXCATC-3' (139-8)	
 23	5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	

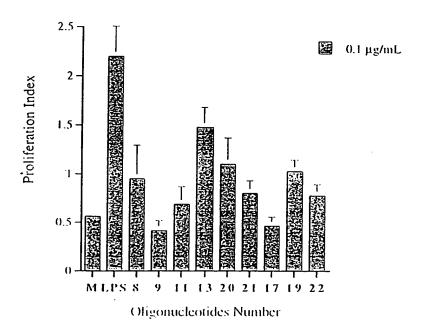


Figure 2 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
8	5'-CCTACTAG <u>CG</u> TTCTCATC-3' (133-1)	
9	5'-CCTACTAGCXTTCTCATC-3' (139-2)	
10	5'-CCTACTAXCGTTCTCATC-3' (133-2)	~~
11	5'-CCTACTXGCGTTCTCATC-3' (139-3)	
12	5'-CCTACXAGCGTTCTCATC-3' (133-3)	
13	5'-CCTAXTAGCGTTCTCATC-3' (139-4)	X = 3
14	5'-CCTXCTAGCGTTCTCATC-3' (133-4)	0 - P
15	5'-CCTXCTAG <u>CC</u> TTCTCATC-3' (145-10a)	0 70
16	5'-CCTACTAGCGXTCTCATC-3' (133-5)	3- (
17	5'-CCTACTAGCGTXCTCATC-3' (139-7)	1',2'-Dideoxyribose
18	5'-CCTACTAGCGTTXTCATC-3' (133-6)	
19	5'-CCTACTAGCGTTCXCATC-3' (139-8)	
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)	. شهمین
21	5'-XXTACTAGCGTTCTCATC-3' (139-6)	
22	5'-CCTACTAGCGTTCXXATC-3' (139-9)	
23	5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	

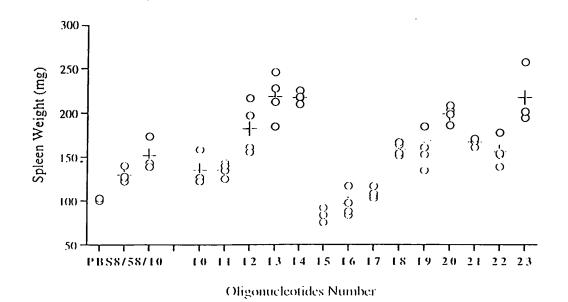


Figure 3 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	~ 0
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)	X = 3,)—/
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	i n j
6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0=P-0
7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	s ^{'⊝} i
		1',2'-Dideoxyribose

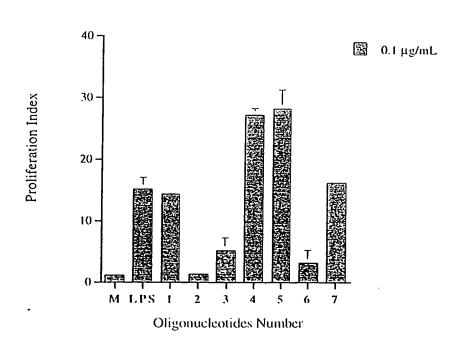


Figure 4 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	~o
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)	X = 3
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	o o
6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0=P\0
7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	s [⊖] i
		1',2'-Dideoxyribose

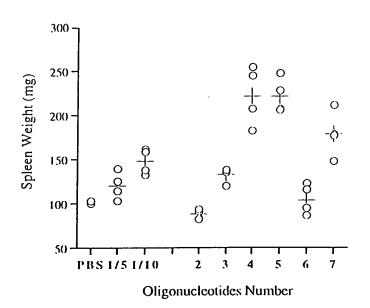


Figure 5 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
141-2	5'-CCTACTAGCXTTCTCATC-3'	
141-3	5'-CCTACTXGCGTTCTCATC-3'	
141-4	5'-CCTAXTAGCGTTCTCATC-3'	ľ
141-5	5'-CCTXXTAGCGTTCTCATC-3'	
141-6	5'-XXTACTAGCGTTCTCATC-3'	X =
141-7	5'-CCTACTAGCGTXCTCATC-3'	
141-8	5'-CCTACTAGCGTTCXCATC-3'	0.5P.
141-9	5'-CCTACTAGCGTTCXXATC-3'	99 9
		C3-Linker
131-1	5'-CTATCTGACGTTCTCTGT-3'	
137-2	5'-CTATCTGXCGTTCTCTGT-3'	
137-3	5'-CTATCXGACGTTCTCTGT-3'	ميسيت
137-4	5'-CTAXCTGACGTTCTCTGT-3'	

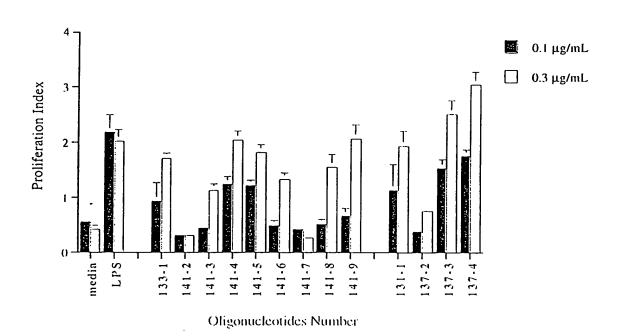


Figure 6 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
141-2	5'-CCTACTAGCXTTCTCATC-3'	
141-3	5'-CCTACTXGCGTTCTCATC-3'	~0
141-4	5'-CCTAXTAGCGTTCTCATC-3'	
141-5	5'-CCTXXTAGCGTTCTCATC-3'	
141-6	5'-XXTACTAGCGTTCTCATC-3'	X =
141-7	5'-CCTACTAGCGTXCTCATC-3'	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \
141-8	5'-CCTACTAGCGTTCXCATC-3'	0=P-0
141-9	5'-CCTACTAGCGTTCXXATC-3'	s ^o i
		C3-Linker
131-1	5'-CTATCTGACGTTCTCTGT-3'	
137-2	5'-CTATCTGXCGTTCTCTGT-3'	
137-3	5'-CTATCXGACGTTCTCTGT-3'	ميعسيت.
137-4	5'-CTAXCTGACGTTCTCTGT-3'	

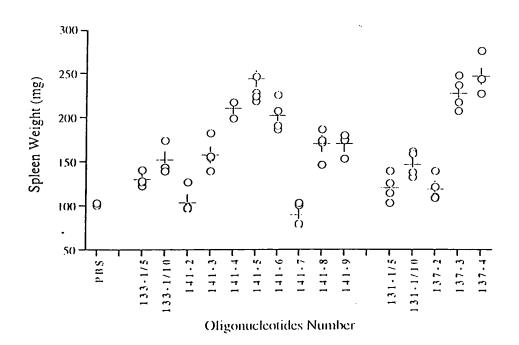


Figure 7 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)
3	5'-CTATCTGACGTTCXCTGT-3' (153-2)
4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)
5	5'-CTATCTGACGTTCYCTGT-3' (153-4)
6	5'-CCTACTAGCGTTCTCATC-3' (133-1)
7	5'-CCTXCTAGCGTTCTCATC-3' (155-1)
8	5'-CCTACTAGCGTTCXCATC-3' (155-2)
9	5'-CCTYCTAGCGTTCTCATC-3' (155-3)
10	5'-CCTACTAGCGTTCYCATC-3' (155-4)
X = \frac{1}{2}	
Y = \checkmark^{O} Spacer18	

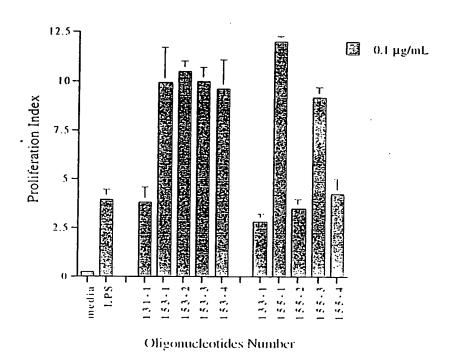


Figure 8 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)
3	5'-CTATCTGACGTTCXCTGT-3' (153-2)
4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)
5	5'-CTATCTGACGTTCYCTGT-3' (153-4)
6	5'-CCTACTAGCGTTCTCATC-3' (133-1)
7	5'-CCTXCTAGCGTTCTCATC-3' (155-1)
8	5'-CCTACTAGCGTTCXCATC-3' (155-2)
9	5'-CCTYCTAGCGTTCTCATC-3' (155-3)
10	5'-CCTACTAGCGTTCYCATC-3' (155-4)
X = Spacer9	
Spacer18	

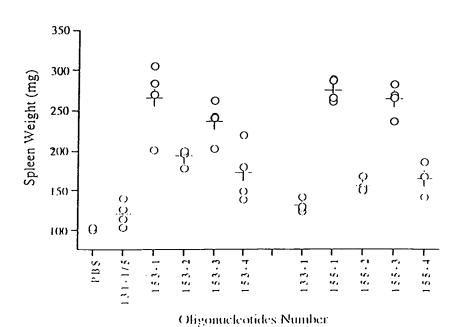


Figure 9 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 	Oligo No.	Sequence & Modification	
	1	5'-CTATCTGACGTTCTCTGT-3' (131-1)	
	2	5'-CTATCTGXCGTTCTCTGT-3' (157-1)	
	3	5'-CTATCTXACGTTCTCTGT-3' (157-2)	
	4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)	
	5	5'-CTATCTGACGTXCTCTGT-3' (157-4)	
	6	5'-CTATCTGACGTTCXCTGT-3' (157-5)	
	_		

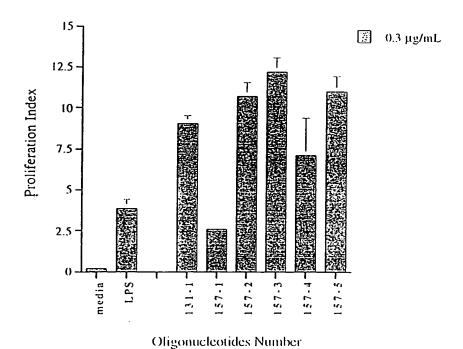


Figure 10 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)	
2	5'-CTATCTGXCGTTCTCTGT-3' (157-1)	
3	5'-CTATCTXACGTTCTCTGT-3' (157-2)	
4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)	
5	5'-CTATCTGACGTXCTCTGT-3' (157-4)	
6	5'-CTATCTGACGTTCXCTGT-3' (157-5)	

$$X = \begin{pmatrix} & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

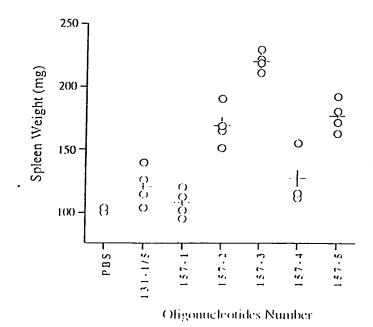


Figure 11 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
159-1	5'-CTATCTGACXTTCTCTGT-3'	~0
159-2	5'-CTATCTGAXGTTCTCTGT-3'	$X = 5' \longrightarrow O \longrightarrow B$
159-3	5'-CTATXTGACGTTCTCTGT-3'	2'
159-4	5'-CTATCTGACGTTCTXTGT-3'	0
133-1	5'-CCTACTAGCGTTCTCATC-3'	0=P-0 SO (5'0 B
161-1	5'-CCTACTAGCXTTCTCATC-3'	3,
161-2	5'-CCTACTAGXGTTCTCATC-3'	O No over production and a
161-3	5'-CCTACTAXCGTTCTCATC-3'	3'-Deoxynucleoside
161-4	5'-CCTAXTAGCGTTCTCATC-3'	
161-5	5'-CCTACTAGCGTTCTXATC-3'	

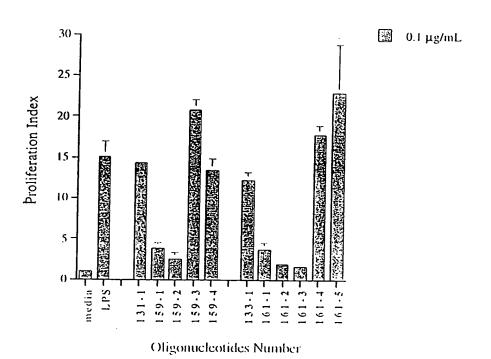


Figure 12 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
159-1	5'-CTATCTGACXTTCTCTGT-3'	~0
159-2	5'-CTATCTGAXGTTCTCTGT-3'	$X = 5' \bigcirc B$
159-3	5'-CTATXTGACGTTCTCTGT-3'	2'
159-4	5'-CTATCTGACGTTCTXTGT-3'	0 0 P O
133-1	5'-CCTACTAGCGTTCTCATC-3'	SO (5', 0 B
161-1	5'-CCTACTAGCXTTCTCATC-3'	3')—/ O
161-2	5'-CCTACTAGXGTTCTCATC-3'	3'-Deoxynucleoside
161-3	5'-CCTACTAXCGTTCTCATC-3'	2 day, radioolid
161-4	5'-CCTAXTAGCGTTCTCATC-3'	
161-5	5'-CCTACTAGCGTTCTXATC-3'	

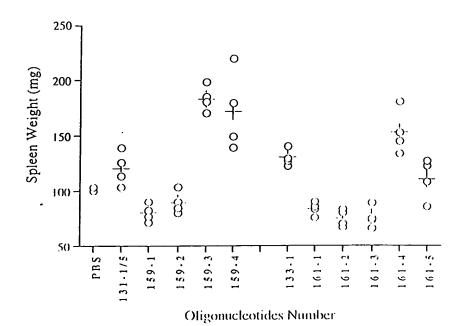


Figure 13 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
167-1	5'-CTATCTGXCGTTCTCTGT-3'	~0
167-2	5'-CTATCTXACGTTCTCTGT-3'	$X = 5^{\circ} \bigcirc \bigcirc \bigcirc B$
167-3	5'-CTATCXGACGTTCTCTGT-3'	3.
167-4	5'-CTATXTGACGTTCTCTGT-3'	0=2-0
167-5	5'-CTAXCTGACGTTCTCTGT-3'	H ₃ C Y
167-6	5'-CTXXCTGACGTTCTCTGT-3'	Methyl-phosphonate
167-7	5'-CTATCTGACGXTCTCTGT-3'	
167-8	5'-CTATCTGACGTXCTCTGT-3'	ميعست
167-9	5'-CTATCTGACGTTXTCTGT-3'	
167-10	5'-CTATCTGACGTTCXCTGT-3'	
16711	5'-CTATCTGACGTTCTXTGT-3'	
167-12	5'-CTATCTGACGTTCTXXGT-3'	

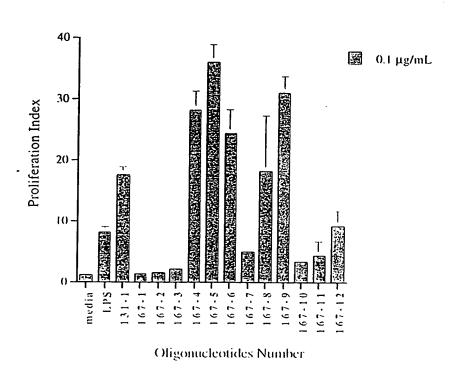
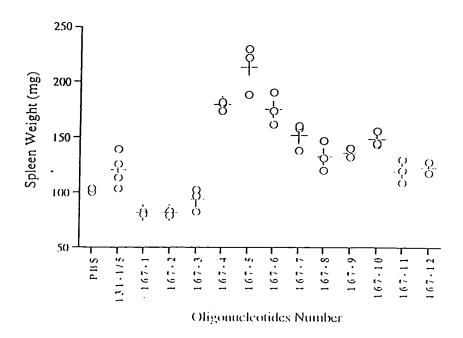


Figure 14 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

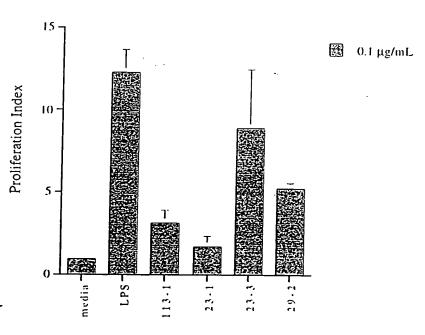
Oligo No.	Sequence & Modification	
Oligo No. 131-1 167-1 167-2 167-3 167-4 167-5 167-6 167-7 167-8 167-9 167-10 16711	5'-CTATCTGACGTTCTCTGT-3' 5'-CTATCTXACGTTCTCTGT-3' 5'-CTATCTXACGTTCTCTGT-3' 5'-CTATCXGACGTTCTCTGT-3' 5'-CTATXTGACGTTCTCTGT-3' 5'-CTAXCTGACGTTCTCTGT-3' 5'-CTAXCTGACGTTCTCTGT-3' 5'-CTATCTGACGXTCTCTGT-3' 5'-CTATCTGACGTXCTCTGT-3' 5'-CTATCTGACGTTXTCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXCTGT-3'	X = 5' O B O B O C P
167-12	5'-CTATCTGACGTTCTXXGT-3'	



Oligodeoxynucleotide Phosphorothioates and Modification of Linkages Figure 15

Oligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCTGATGC-3'	~0
23-1	5'-TCCATGXCGTTCCTGATGC-3'	5' \O \B
23-3	5'-TCCAXGACGTTCCTGATGC-3'	3'\(OR
29-2	5'-TYYATGACGGTCCTGATGC-3'	0=P-0 S 1

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonucleoside



Oligonucleotides Number

Figure 16 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCTGATGC-3'	~_0
23-1	5'-TCCATGXCGTTCCTGATGC-3'	5' \ O \ B
23-3	5'-TCCAXGACGTTCCTGATGC-3'	3'}{ OR
29-2	5'-TYYATGACGGTCCTGATGC-3'	0=P-0 S

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonuclesside

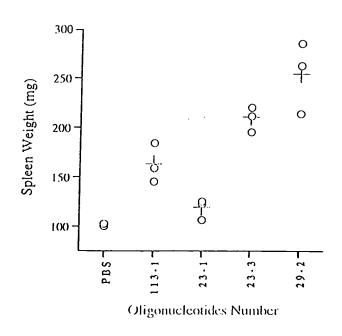


Figure 17 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

	J		
Oligo No.	Sequence & Modification		
1	5'-G A G A A C G C T C G A C C T T-3'		
2	5'-GAGAACGCTCGACCTT-3' 5'-GAGAACGCTCGACCTT-3'		
3	3'-TTCCAGCTCGCAAGAG-5'5'-GAGAACGCTCGACCTT-3'		
4	5'-GAGAACGCTCGACCTT-3' 3'-TTCCAGCTCGCAAGAG-5'		
5	5'-T C T C C C A G C G T G C G C C A T-3'		
6	5'-TCCCAGCGTGCGCCAT-3' 5'-TCCCAGCGTGCGCCAT-3'		
7	3'-TACCGCGTGCGACCCT-5' 5'-TCCCAGCGTGCGCCAT-3'		
8	5'-T C C C A G C G T G C G C C A T-3' 3'-T A C C G C G T G C G A C C C T-5'		
	-0 5'-3'		
	O 5'—5' O B O 5'—5' O B O 5'—5' O B O D O D O D O D O D O D O D O D O D O D		

5'-3'- direction of CpG motif

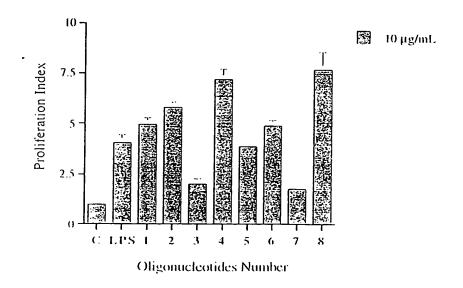


Figure 18 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
175-1	5'-CTATXTGACGTTCTCTGT-3'	0"
175-2	5'-CTATCTGACGTTCXCTGT-3'	$X = {}^{B} Y^{O} Y$
175-3	5'-CTAXXTGACGTTCTCTGT-3'	
175-4	5'-CTATCTGACGTTCXXTGT-3'	0=P-0
175-5	5'-CTATCTGAXXTTCTCTGT-3'	Ś [⊙] (5',0, E
175-6	5'-CTATCTXACGTTCTCTGT-3'	β-L-Deoxynucleoside 3')
175-7	5'-CTATCTGACGTXCTCTGT-3'	O _{-1,}
175-9	5'-CTATCTGACGTTCTCTGT-3' (all-β	-L-DNA/PS)

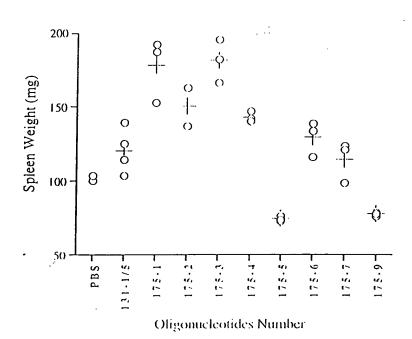


Figure 19 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
		~0
131-1	5'-CTATCTGACGTTCTCTGT-3'	$X = 5^{\circ} Y^{\circ} Y^{\circ}$
173-1	5'-CTATXTGACGTTCTCTGT-3'	3, —
173-2	5'-CTATCTGACGTTCTXTGT-3'	Osi CH
		2'-O-Propargyl-ribonucleoside

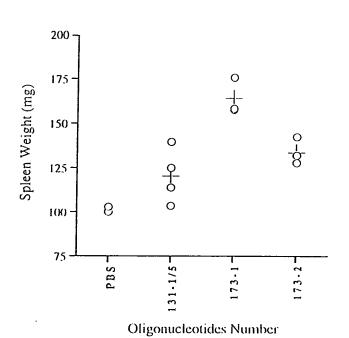


Figure 20 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
143-1	5'-CCTXXTAGCGTTCTCATC-3'	
143-2	5'-CCTYYTAGCGTTCTCATC-3'	
143-3	5'-CCTZZTAGCGTTCTCATC-3'	
143-4	5'-CCTXXTAGCGTVCTCATC-3'	
143-5	5'-CCTACTAG <u>GC</u> TTCTCATC-3'	
X =1',2'-Dideo Y = C3-Linker Z = 3'-OMe V = 2'-OMe		ميسيس.

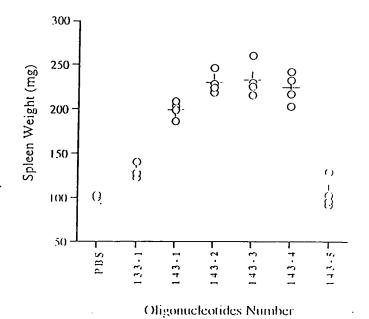


Figure 21 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
2	5'-CTATCTGA <u>CG*</u> TTCTCTGT-3' (E 633L)
3	5'-CTATCTGAG*CTTCTCTGT-3' (E 636)
4	5'-TCTCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'
5	5'-TCTCCCAG <u>CG*</u> TG <u>CG*</u> CCAT-3' (E 603)
6	5'-CTATXTGA <u>CG*</u> TTCTCTGT-3' (E 639É)
O N N O O O O O O O O O O O O O O O O O	NH
$G^* = 7$ -deaza	aguanine $X = C3$ - Linker

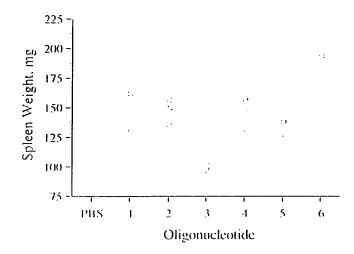


Figure 22 Oligodeoxynucleotide Phosphorothioates and Site of Modification

 Oligo No.	Sequence & Modification	
1 2 3	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1) 5'-CTATCTGA <u>CG*</u> TTCTCTGT-3' (E 682) 5'-CTATCTGA <u>G*C</u> TTCTCTGT-3' (E 683)	N N N N N N N N N N

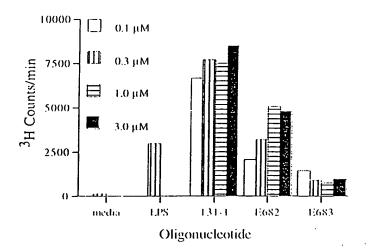


Figure 23 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification		
i	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	NH ₂	NH-CH ₂ -CH ₃
2	5'-CTATCTGA <u>C*G</u> TTCTCTGT-3'	HO	N
3	5'-CTATCTGACC*TTCTCTGT-3'	N 0	NNO
4	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3'	dR	dR
5	5'-CTATCTGACC**TTCTCTGT-3'	C* = 5-hydroxy-cytosine C*	= N4-etnyl-cytosine

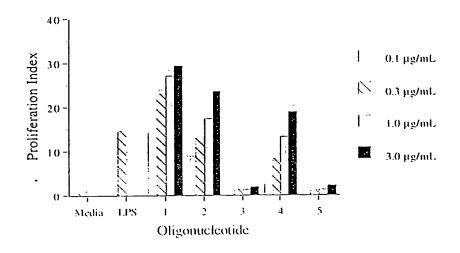


Figure 24 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification		
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	NH ₂	NH-CH ₂ -CH ₃
2	5'-CTATCTGA <u>C*G</u> TTCTCTGT-3'	HON	N
3	5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	NO	NO
4	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3'	dR	l dR
5	5'-CTATCTGA <u>CC**</u> TTCTCTGT-3'	C* = 5-hydroxy-cytosine C**	* = N4-ethyl-cytosine

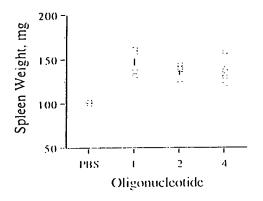


Figure 25 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	
1 2 3	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' 5'-CTATCTGA <u>C*G</u> TTCTCTGT-3' 5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	NH ₂ N N O HO C* = Ara-C

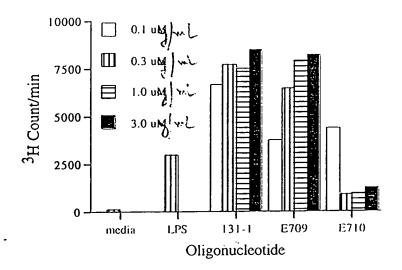


Figure 26 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No. Sequence & Modification

- I 5'-CTATCTGACGTTCTCTGT-3' (131-1)
- 2 5'-CTATCTGA<u>C*G</u>TTCTCTGT-3' (E 647)
- 3 5'-CTATXTGA<u>C*G</u>TTCTCTGT-3' (E 653)

